

11/564,974

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NEWS 2 JUL 02 LMEDLINE coverage updated
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAplus patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 12 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 13 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15 AUG 27 USPATOLD now available on STN
NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18 SEP 13 FORIS renamed to SOFIS
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 20 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 21 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24 OCT 19 BEILSTEIN updated with new compounds

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

11/564,974

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STRUCTURE FILE UPDATES: 8 NOV 2007 HIGHEST RN 952702-46-4
DICTIONARY FILE UPDATES: 8 NOV 2007 HIGHEST RN 952702-46-4

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

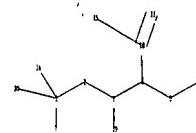
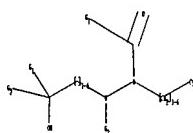
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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=> Uploading C:\Program Files\Stnexp\Queries\10564974.str
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11/564, 974



chain nodes :

1 2 3 4 5 6 7 10 11 15 16 19 20

chain bonds :

1-2 1-7 1-16 1-20 2-3 3-4 3-19 4-5 4-10 5-6 10-11 10-15

exact/norm bonds :

1-7 1-16 1-20 2-3 3-4 3-19 4-10 5-6 10-11 10-15

exact bonds :

1-2 4-5

G1:H,Cy,Ak

G2:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:CLASS 10:CLASS
11:CLASS 15:CLASS 16:CLASS 19:CLASS 20:CLASS

11/564,974

L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 13:02:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 580 TO ITERATE

100.0% PROCESSED 580 ITERATIONS
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 10156 TO 13044
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s 11 ful

FULL SEARCH INITIATED 13:02:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12233 TO ITERATE

100.0% PROCESSED 12233 ITERATIONS
SEARCH TIME: 00.00.01

28 ANSWERS

L3 28 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
172.10 172.31

FILE 'CAPLUS' ENTERED AT 13:02:34 ON 09 NOV 2007
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FILE LAST UPDATED: 8 Nov 2007 (20071108/ED)

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11/564,974

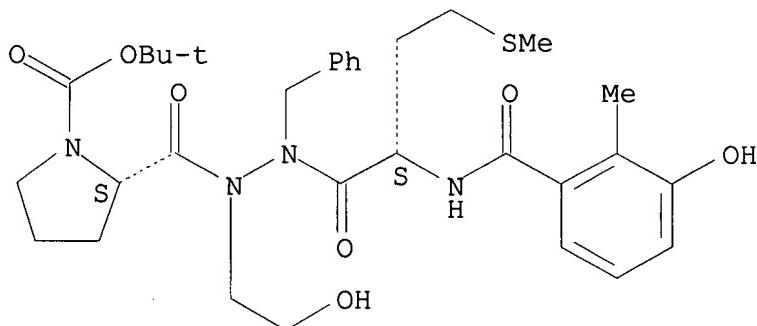
<http://www.cas.org/infopolicy.html>

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L4 4 L3

=> d 14 ibib abs hitstr hitind 1-4

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:411090 CAPLUS
DOCUMENT NUMBER: 143:97618
TITLE: HIV protease inhibitors: synthesis and activity of N-aryl-N'-hydroxyalkyl hydrazide pseudopeptides
AUTHOR(S): Marastoni, M.; Baldissarro, A.; Trapella, C.; McDonald, J.; Bortolotti, F.; Tomatis, R.
CORPORATE SOURCE: Department of Pharmaceutical Sciences and Biotechnology Center, University of Ferrara, Ferrara,
I-44100, Italy
SOURCE: European Journal of Medicinal Chemistry (2005), 40(5), 445-451
PUBLISHER: CODEN: EJMCA5; ISSN: 0223-5234
Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:97618
AB We describe the synthesis by solution peptide coupling, N-acylation, and N-alkylation and activities of a series of pseudopeptides containing an N-aryl-N'-hydroxyalkyl hydrazide core structure to inhibit human immunodeficiency virus protease and viral replication. Of the series, compound Hmb-Leu-N(CH₂Ph)-N(CH₂-CH-OH)-rPro-Boc (Hmb = 3-hydroxy-2-methylbenzoyl, rPro = proline residue in the opposite direction, Boc = tert-butoxycarbonyl) displayed the greatest inhibitory potency (IC₅₀ < 1 μM) and exhibited enzymic resistance and stability in vitro.
IT 856667-69-1P 856667-73-7P 856667-77-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and activity of arylhydroxyalkyl hydrazide pseudopeptides as HIV protease and viral replication inhibitors)
RN 856667-69-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) ester, 2-[1-(2-hydroxyethyl)-2-[(2S)-2-[(3-hydroxy-2-methylbenzoyl)amino]-4-(methylthio)-1-oxobutyl]-2-(phenylmethyl)hydrazide], (2S)- (9CI) (CA INDEX NAME)

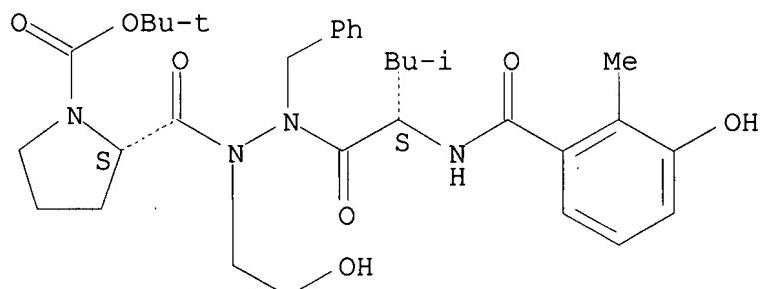
Absolute stereochemistry. Rotation (-).



RN 856667-73-7 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) ester,
2-[1-(2-hydroxyethyl)-2-[(2S)-2-[(3-hydroxy-2-methylbenzoyl)amino]-4-
methyl-1-oxopentyl]-2-(phenylmethyl)hydrazide], (2S)- (9CI) (CA INDEX
NAME)

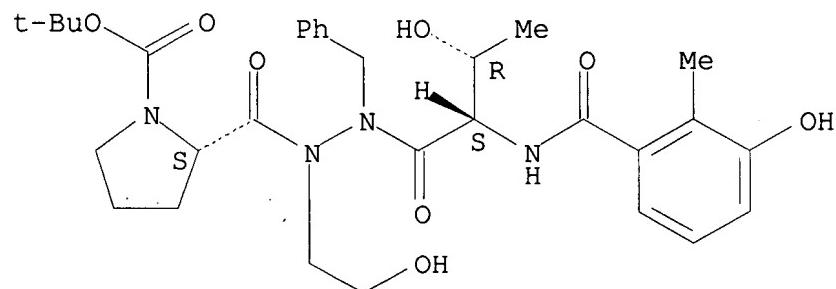
Absolute stereochemistry. Rotation (-).



RN 856667-77-1 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) ester,
2-[1-(2-hydroxyethyl)-2-[(2S,3R)-3-hydroxy-2-[(3-hydroxy-2-
methylbenzoyl)amino]-1-oxobutyl]-2-(phenylmethyl)hydrazide], (2S)-
(9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7
 IT 856667-69-1P 856667-71-5P 856667-72-6P 856667-73-7P
 856667-76-0P 856667-77-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (synthesis and activity of arylhydroxyalkyl hydrazide
 pseudopeptides as
 HIV protease and viral replication inhibitors)
 REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR
 THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:120872 CAPLUS
 DOCUMENT NUMBER: 142:219049
 TITLE: Preparation of benzoic acid derivatives having
 hydrazide moiety as prostaglandin receptors
 modulators
 INVENTOR(S): Araldi, Gian Luca; Liao, Yihua; Brugger, Nadia
 PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005012232 | A2 | 20050210 | WO 2004-EP51531 | 20040716 |
| WO 2005012232 | A3 | 20050331 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004261397 | A1 | 20050210 | AU 2004-261397 | 20040716 |
| CA 2529123 | A1 | 20050210 | CA 2004-2529123 | 20040716 |
| EP 1654219 | A2 | 20060510 | EP 2004-785978 | 20040716 |
| SK, HR | | | | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, | | | | |
| JP 2006528154 | T | 20061214 | JP 2006-520831 | 20040716 |

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| | | | |
|--------------------------------|---------------------------|--|------------------------------------|
| NO 2006000739 US 2007185191 | A 20060331 A1 20070809 | NO 2006-739 US 2006-564974 US 2003-488614P | 20060215 20060711 P 20030718 |
| PRIORITY APPLN. INFO.: | | | |
| | | WO 2004-EP51531 | W 20040716 |

OTHER SOURCE(S): CASREACT 142:219049; MARPAT 142:219049
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = cycloalkyl, heterocycloalkyl, heteroaryl, etc.; B = alkylene, alkenylene; alkynylene; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4 = H, alkyl; R5 = H, alkyl, alkenyl, etc.; n = 1-6] and their pharmaceutically acceptable salts were prepared For example,

Michael addition of the hydrazino compound II to compound III followed by reduction

with NaBH4, hydrolysis using NaOH afforded compound IV. In prostaglandin

EP2 binding assays, the Ki value of compound IV was 4.21 μ M. Compds. I

are claimed useful for the treatment of asthma, hypertension, etc.

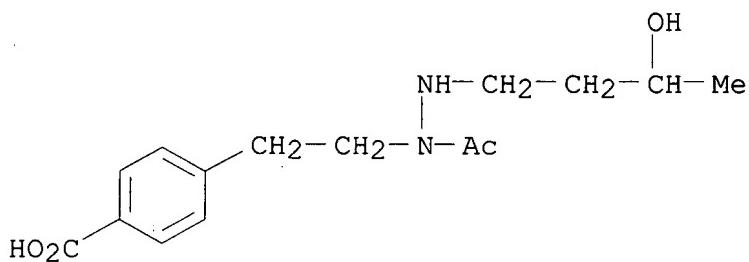
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841234-44-4P 841234-45-5P 841234-46-6P
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841234-54-6P 841234-55-7P 841234-56-8P
841234-57-9P 841234-58-0P 841234-59-1P
841234-60-4P 841234-61-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

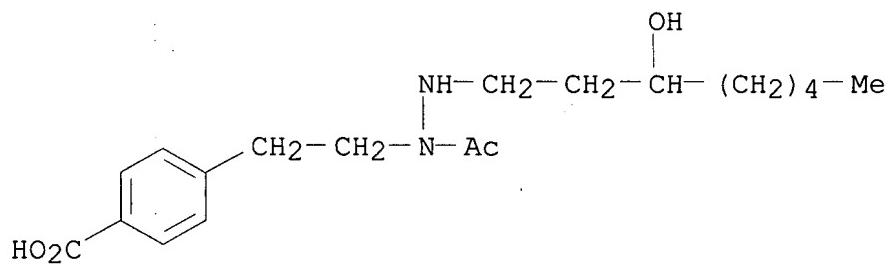
(preparation of benzoic acid derivs. having hydrazide moiety as prostaglandin receptors modulators for treatment of asthma, hypertension, etc.)

RN 841234-41-1 CAPLUS

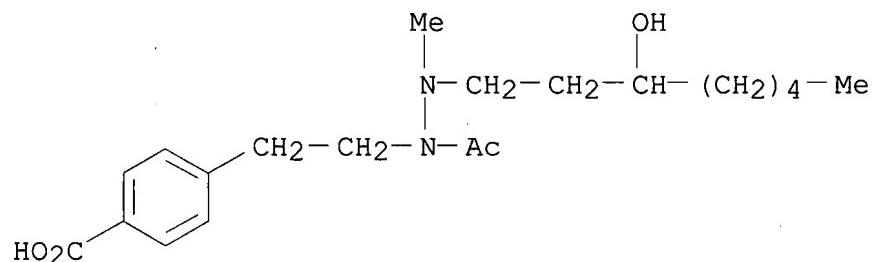
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(CA INDEX NAME)



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(CA INDEX NAME)

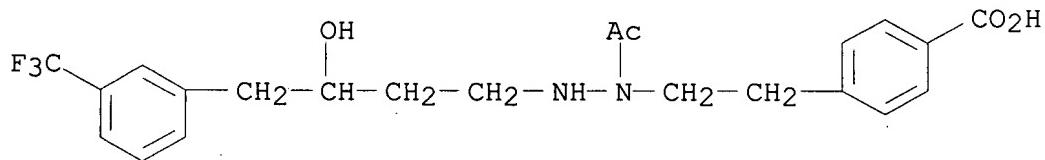


RN 841234-43-3 CAPLUS
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4-[2-[1-acetyl-2-(3-hydroxyoctyl)-2-methylhydrazino]ethyl]-
(9CI) (CA INDEX NAME)



RN 841234-44-4 CAPLUS
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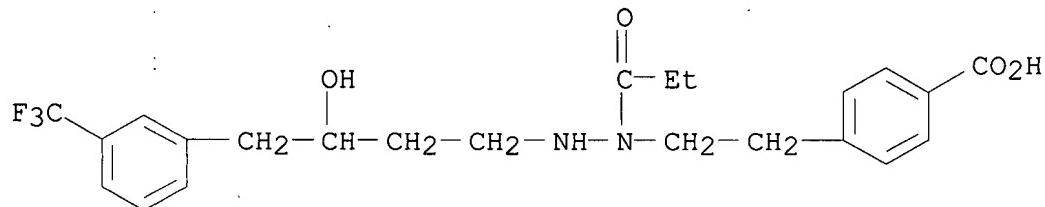
11/564, 974



RN 841234-45-5 CAPLUS

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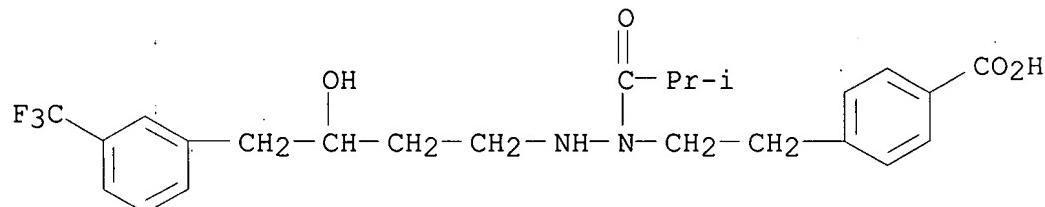
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RN 841234-46-6 CAPLUS

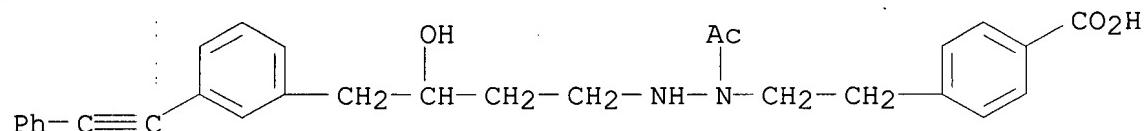
CN Benzoic acid,

4-[2-[2-[3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-1-(2-methyl-1-oxopropyl)hydrazino]ethyl]- (9CI) (CA INDEX NAME)



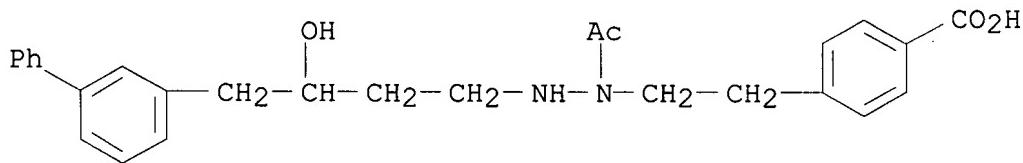
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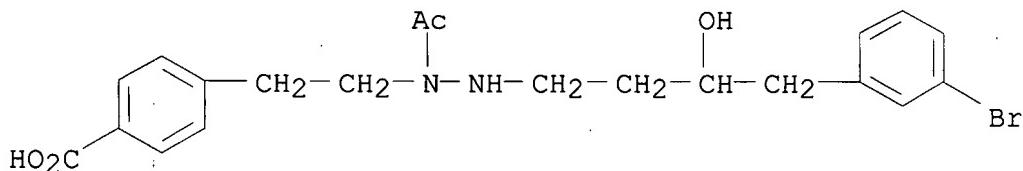
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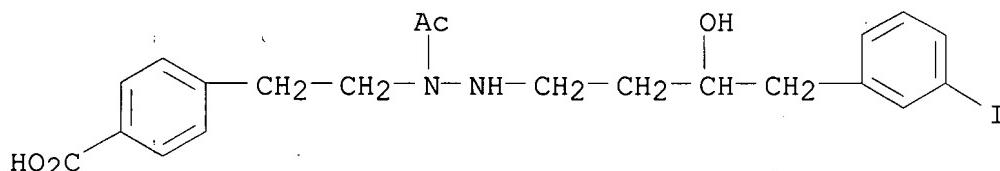
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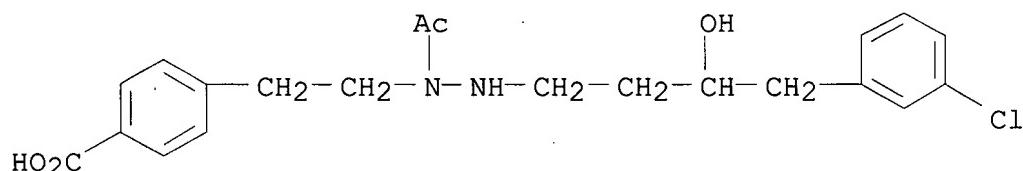
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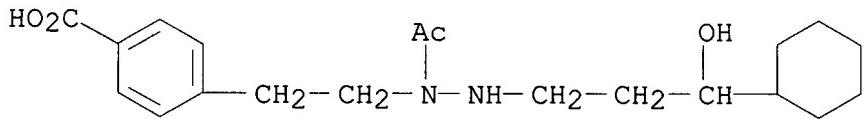
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RN 841234-53-5 CAPLUS

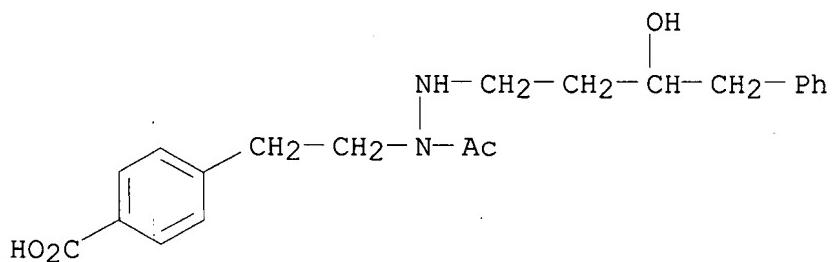
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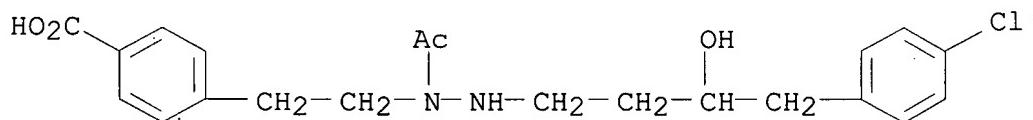
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4-[2-[1-acetyl-2-(3-hydroxy-4-phenylbutyl)hydrazino]ethyl]- (9CI) (CA INDEX NAME)



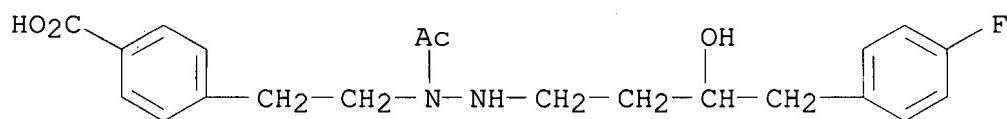
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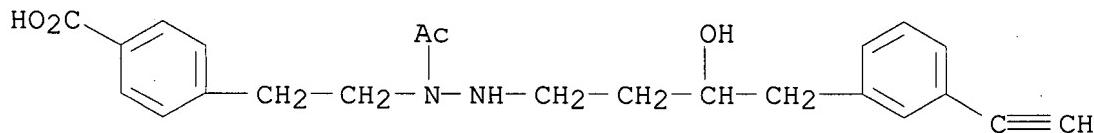
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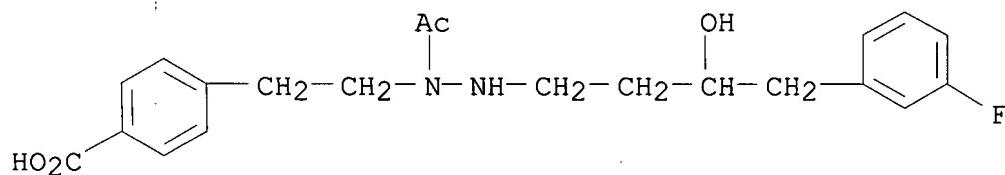
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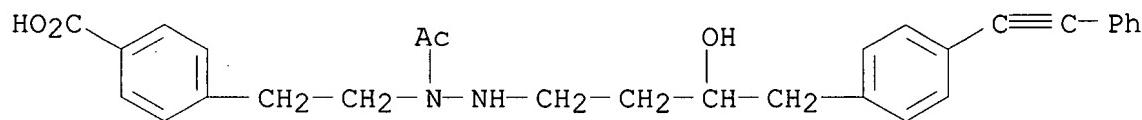
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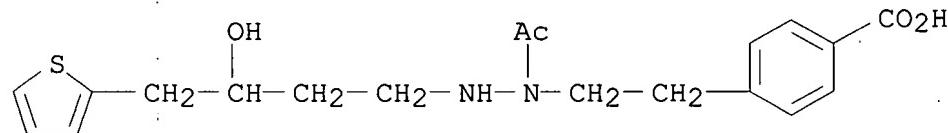
RN 841234-59-1 CAPLUS

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RN 841234-60-4 CAPLUS

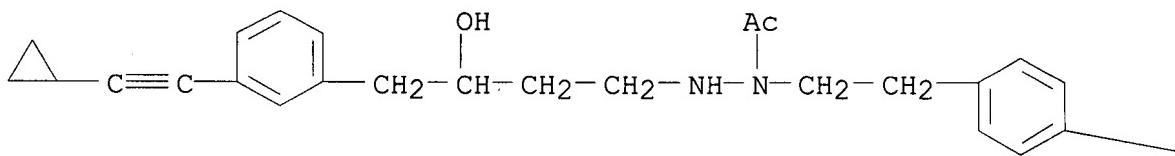
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RN 841234-61-5 CAPLUS

CN Benzoic acid, 4-[2-[1-acetyl-2-[4-[3-(cyclopropylethynyl)phenyl]-3-hydroxybutyl]hydrazino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

 $\text{--CO}_2\text{H}$

IT 841234-65-9P 841234-67-1P 841234-71-7P

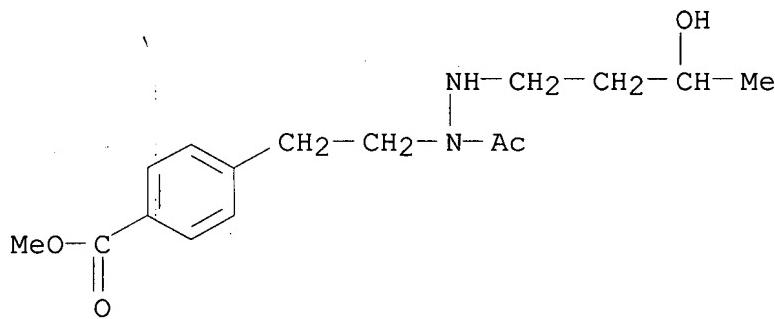
841234-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

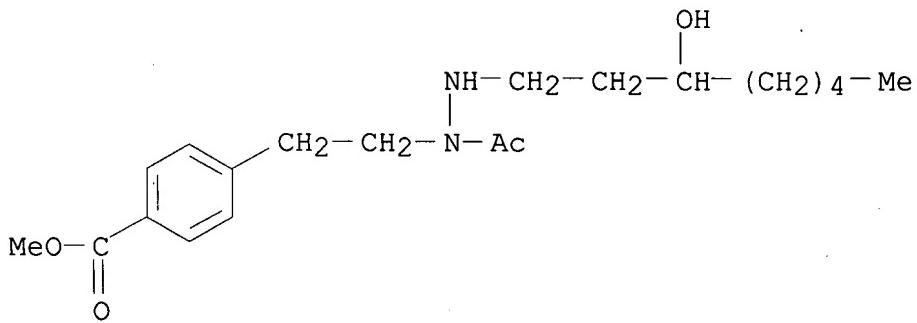
(preparation of benzoic acid derivs. having hydrazide moiety as
prostaglandin receptors modulators for treatment of asthma,
hypertension, etc.)

RN 841234-65-9 CAPLUS

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methyl
ester (9CI) (CA INDEX NAME)

RN 841234-67-1 CAPLUS

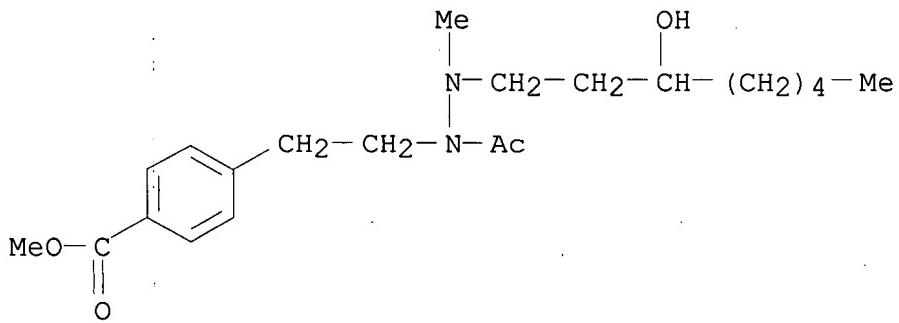
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ester (9CI) (CA INDEX NAME)



RN 841234-71-7 CAPLUS

CN Benzoic acid,

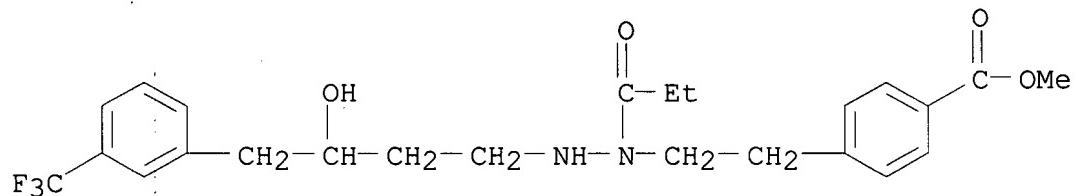
4-[2-[1-acetyl-2-(3-hydroxyoctyl)-2-methylhydrazino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 841234-76-2 CAPLUS

CN Benzoic acid,

4-[2-[2-[3-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl]-1-(1-oxopropyl)hydrazino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



IC ICM C07C243-00

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63

| | | | |
|----|--------------|--------------|--------------|
| IT | 841234-41-1P | 841234-42-2P | 841234-43-3P |
| | 841234-44-4P | 841234-45-5P | 841234-46-6P |
| | 841234-47-7P | 841234-49-9P | 841234-50-2P |
| | 841234-51-3P | 841234-52-4P | 841234-53-5P |
| | 841234-54-6P | 841234-55-7P | 841234-56-8P |

841234-57-9P 841234-58-0P 841234-59-1P

841234-60-4P 841234-61-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzoic acid derivs. having hydrazide moiety as prostaglandin receptors modulators for treatment of asthma, hypertension, etc.)

IT 136333-97-6P 346672-98-8P 518345-38-5P 841234-62-6P
841234-63-7P

841234-64-8P 841234-65-9P 841234-66-0P 841234-67-1P

841234-68-2P 841234-69-3P 841234-70-6P 841234-71-7P

841234-72-8P 841234-73-9P 841234-74-0P 841234-75-1P

841234-76-2P 841234-77-3P 841234-78-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of benzoic acid derivs. having hydrazide moiety as prostaglandin receptors modulators for treatment of asthma, hypertension, etc.)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:474573 CAPLUS

DOCUMENT NUMBER: 91:74573

TITLE: Studies on the syntheses of heterocyclic compounds.
776. Cyclization of N-substituted mandelohydrazide
with formaldehyde

AUTHOR(S): Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi,
Mineharu;

Wagatsuma, Nagatoshi; Kohagizawa, Toshitaka; Inoue,
Hitoshi

CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan

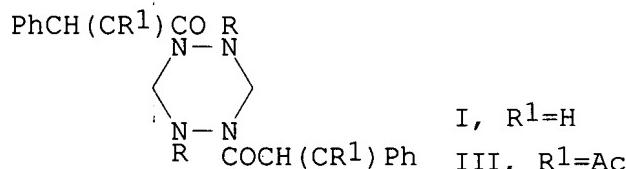
SOURCE: Yakugaku Zasshi (1979), 99(2), 135-40

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI



AB Acid-catalyzed cyclization of N-substituted mandelohydrazides PhCH(OH)CONHNHR (R = Me, cyclopropylmethyl, PhCH₂, PhCH₂CH₂) with paraformaldehyde gave hexahydro-1,2,4,5-tetrazine derivs. I. However, PhCH(OH)CONHNAC₂Ph (II), when similarly treated, gave

11/564, 974

cyclization-rearrangement product III. The Ac on the N in II rearranged

to alc. O during the cyclization.

IT 68164-66-9P

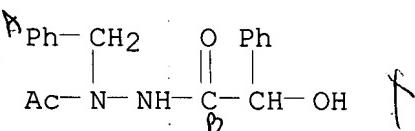
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

(preparation and rearrangement of)

RN 68164-66-9 CAPLUS

CN Benzeneacetic acid, α -hydroxy-, 2-acetyl-2-(phenylmethyl)hydrazide
(9CI) (CA INDEX NAME)



CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 68164-66-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

(preparation and rearrangement of)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:597482 CAPLUS

DOCUMENT NUMBER: 89:197482

TITLE: Cyclization reaction of N-substituted
mandelhydrazide

with formaldehyde

AUTHOR(S): Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi,
Mineharu;

Wagatsuma, Nagatoshi; Kohagizawa, Toshitaka; Inoue,
Hitoshi

CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan

SOURCE: Heterocycles (1978), 9(8), 1031-40

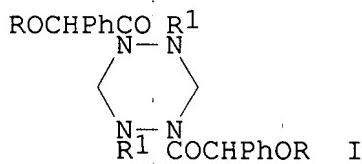
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:197482

GI



11/564,974

AB Tetrazines I ($R = H$, $R_1 = Me$, cyclopropylmethyl, CH_2Ph , CH_2CH_2Ph ; $R = Ac$,
 $R_1 = CH_2Ph$) were prepared by cyclocondensation of $HOCHPhCONHNH_2$ (II)
or
 $HOCHPhCONHNAcCH_2Ph$ (III) with CH_2O in the presence of acid. II were
prepared by treating $HOCHPhCO_2Me$ with R_1NH_2 . III was prepared by
acetylation of II ($R_1 = CH_2Ph$) and partial hydrolysis of
 $AcOCHPhCONHNAcCH_2Ph$.

IT 68164-66-9P

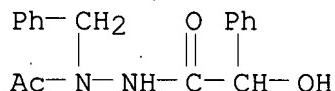
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

(preparation and cyclization of, with formaldehyde)

RN 68164-66-9 CAPLUS

CN Benzeneacetic acid, α -hydroxy-, 2-acetyl-2-(phenylmethyl)hydrazide
(9CI) (CA INDEX NAME)



CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 68164-61-4P 68164-62-5P 68164-63-6P 68164-64-7P 68164-66-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT

(Reactant or reagent)

(preparation and cyclization of, with formaldehyde)

=> log y.

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 22.91 | 195.22 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -3.12 | -3.12 |

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